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- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
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Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ARYL PIPERIDINE DERIVATIVES AND USE THEREOF TO REDUCE ELEVATED LEVELS OF LDL-CHOLESTEROL

(57) Abstract: This invention relates to novel compounds which up-regulate LDL receptor (LDL-r) expression and to processes for their preparation, pharmaceutical compositions containing them and their medical use. More particularly, this invention relates to novel aromatic piperidines and their use in therapy.

INTERNATIONAL SEARCH REPORT

PCT/ET 07615

A. CLASSIFICATION OF SUBJECT MATTER.
IPC 7 A61K31/445 C07D211/22 C07D417/12 C07D413/12 A61P3/06.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

Category			
18 July 2002 (2002-07-18) claims 1,10,13 WO 01 06261 A (ISSANDOU MARC ; GRAND PERRET THIERRY ANDRE REG (FR); GLAXO GROUP LT) 25 January 2001 (2001-01-25) see claim 1 and examples on pages 18-20 US 5 767 131 A (GLUCHOWSKI, CHARLES ET AL) 16 June 1998 (1998-06-16) claims 1,15 ——————————————————————————————————	Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
THIERRY ANDRE REG (FR); GLAXO GROUP LT) 25 January 2001 (2001-01-25) see claim 1 and examples on pages 18-20 US 5 767 131 A (GLUCHOWSKI, CHARLES ET AL) 16 June 1998 (1998-06-16) claims 1,15	P,Y	18 July 2002 (2002-07-18)	1-14
16 June 1998 (1998-06-16) claims 1,15	Υ	THIERRY ANDRE REG (FR); GLAXO GROUP LT) 25 January 2001 (2001-01-25)	1-14
-/	Υ	16 June 1998 (1998-06-16)	1–14
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Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
 "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published page to the international filing date but later than the priority date claimed 	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. "&" document member of the same patent family
Date of the actual completion of the international search 10 October 2003	Date of mailing of the international search report 22/10/2003
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL ~ 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Bérillon, L

INTERNATIONAL SEARCH REPORT

PCT/EP 07615

		PCI/EP	0/615
C.(Continu	ation) DOCUMENTS CONSIDERED . BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages		Relevant to claim No.
A	CASCIO G ET AL: "N-PHENYLPIPERAZINE DERIVATIVES WITH HYPOCHOLESTEROLEMIC ACTIVITY" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 28, no. 6, June 1985 (1985-06), pages 815-818, XP000995567 ISSN: 0022-2623 the whole document		1-14
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Box i	Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)
This Inte	emational Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1.	Claims Nos.: . because they relate to subject matter not required to be searched by this Authority, namely:
2. X	Claims Nos.: 1-14 (party), because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically: see FURTHER INFORMATION sheet PCT/ISA/210
з. 🔲	Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box II	Observations where unity of invention is lacking (Continuation of item 2 of first sheet)
This Inte	emational Searching Authority found multiple inventions in this international application, as follows:
1.	As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.	As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
: 3.	As only some of the required additional search fees were timely paid by the applicant, this international Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.	No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark	The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-14 (part)

Present claims 1-14 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds of formula (I) where Ar1 is (i): phenyl naphtyl or phenyl fused by a C3-8cycloalkyl. This covers all the compounds which are specifically claimed and/or have been tested.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

nation on patent family members

PCT/EP 07615

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US 5767131	A	16-06-1998	US US US AU WO ZA	2002193599 A1 6211198 B1 6310076 B1 6498694#A 9422829 A2 9402360 A	19-12-2002 03-04-2001 30-10-2001 24-10-1994 13-10-1994 22-05-1995